=> fil reg FILE 'REGISTRY' ENTERED AT 14:33:43 ON 08 JUL 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 7 JUL 2003 HIGHEST RN 544408-69-7 DICTIONARY FILE UPDATES: 7 JUL 2003 HIGHEST RN 544408-69-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

VAR G1=AK/CY NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED ECOUNT IS M1 O AT 5

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE

L14 · 653 SEA FILE=REGISTRY SSS FUL L12 L15 STR

6 8 0 0 \$2 \$1~\$\$\frac{2}{5}\N \tag{C} \tag{Hy} 1 \$ 3 4 5

Jan Delaval
Reference Librarian
Biotechnology & Chemical Library
CM1 1E07 – 703-308-4498
jan.delaval@uspto.gov

VAR G1=AK/CY
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS PCY AT 5
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS M1 O AT 5

3--

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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS
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STEREO ATTRIBUTES: NONE
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197 SEA FILE=REGISTRY SUB=L14 SSS FUL L15
L17
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L19 193 SEA FILE=REGISTRY ABB=ON PLU=ON L17 AND NR>=3

L20

VAR G1=AK/CY VAR G2=9/10/11 NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM GGCAT IS PCY AT GGCAT IS PCY AT 10 GGCAT IS PCY AT DEFAULT ECLEVEL IS LIMITED ECOUNT IS M1 N M1 O AT ECOUNT IS M1 O M1 S ΑT 10 ECOUNT IS M1 O M1 P ΑT

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 11

STEREO ATTRIBUTES: NONE

44 SEA FILE=REGISTRY SUB=L19 SSS FUL L20 L22 L23 149 SEA FILE=REGISTRY ABB=ON PLU=ON L19 NOT L22 L24 STR

8 6 0 0 G1~ ∨N-√ C-√ Hy-⁄ G2√ Cy Ò

VAR G1=AK/CY REP G2=(1-20) A NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED ECOUNT IS M1 O AT

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE

54 SEA FILE=REGISTRY SUB=L23 SSS FUL L24

100.0% PROCESSED 149 ITERATIONS

SEARCH TIME: 00.00.01

54 ANSWERS



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=> d his 126-
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(FILE 'REGISTRY' ENTERED AT 14:05:15 ON 08 JUL 2003)
L26
             54 S L24 FUL SUB=L23
                SAV L22 DENTZO47B/A
                SAV L26 DENTZ047C/A
             22 S L26 NOT L18
L27
             12 S L27 AND (CCS/CI OR C40H42CLN308S OR C42H59N017S OR C36H46N4O7
L28
L29
             10 S L27 NOT L28
             42 S L18, L29
L30
                SAV L30 DENTZ047D/A
L31
             95 S L23 NOT L26
     FILE 'HCAOLD' ENTERED AT 14:27:52 ON 08 JUL 2003
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L32
     FILE 'USPATFULL, USPAT2' ENTERED AT 14:28:01 ON 08 JUL 2003
L33
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L34
              2 S L33 AND (KAYAKIRI ? OR ABE ? OR HAMASHIMA ? OR SAWADA ? OR MI
L35
              2 S L33 AND FUJISAWA?/PA
              5 S L33-L35
L36
              5 S L36 AND (PY<=1997 OR PRY<=1997)
L37
     FILE 'HCAPLUS' ENTERED AT 14:31:34 ON 08 JUL 2003
              7 S L30
L38
              1 S L38 AND (KAYAKIRI ? OR ABE ? OR HAMASHIMA ? OR SAWADA ? OR MI
L39
L40
              1 S L38 AND FUJISAWA?/PA
L41
              6 S L38 AND (PY<=1997 OR PRY<=1997 OR AY<=1997)
              7 S L38-L41
L42
     FILE 'REGISTRY' ENTERED AT 14:33:43 ON 08 JUL 2003
=> d ide can tot 130
L30
    ANSWER 1 OF 42 REGISTRY COPYRIGHT 2003 ACS
     251361-28-1 REGISTRY
RN
     2H-1-Benzopyran-3-carboxamide, 7-[[6-deoxy-4-0-methyl-3-0-[(5-methyl-1H-
CN
     pyrrol-2-yl)carbonyl]-.alpha.-L-mannopyranosyl]oxy]-5-heptyl-8-methyl-2-
     oxo-N-(phenylsulfonyl) - (9CI) (CA INDEX NAME)
FS
     STEREOSEARCH
MF
     C37 H44 N2 O11 S
SR
     CA
LC
     STN Files:
                  CA, CAPLUS
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Absolute stereochemistry.

- 1 REFERENCES IN FILE CA (1957 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 132:12456

- L30 ANSWER 2 OF 42 REGISTRY COPYRIGHT 2003 ACS
- RN 219761-68-9 REGISTRY
- CN 5-Benzofurancarboxamide, N-[(5-chloro-2-thienyl)sulfonyl]-3-[(2,4-dichlorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)
- FS 3D CONCORD
- MF C21 H14 C13 N O4 S2
- SR CA
- LC STN Files: CA, CAPLUS, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1957 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 130:125067

- L30 ANSWER 3 OF 42 REGISTRY COPYRIGHT 2003 ACS
- RN 219761-67-8 REGISTRY
- CN 5-Benzofurancarboxamide, N-[(5-bromo-2-thienyl)sulfonyl]-3-[(2,4-dichlorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)
- FS 3D CONCORD
- MF C21 H14 Br C12 N O4 S2
- SR CA
- LC STN Files: CA, CAPLUS, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1957 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 130:125067

L30 ANSWER 4 OF 42 REGISTRY COPYRIGHT 2003 ACS

RN 219761-66-7 REGISTRY



1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 129:27890

L30 ANSWER 35 OF 42 REGISTRY COPYRIGHT 2003 ACS

RN 208039-49-0 REGISTRY

CN 2H-1-Benzopyran-2-carboxamide, 6-[(7-chloro-2-quinolinyl)methoxy]-N-[(4-fluorophenyl)sulfonyl]-3,4-dihydro-2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C30 H28 C1 F N2 O5 S

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 129:27890

L30 ANSWER 36 OF 42 REGISTRY COPYRIGHT 2003 ACS

RN 208039-48-9 REGISTRY

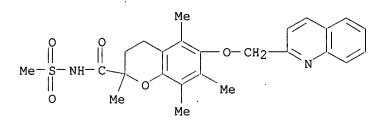
CN 2H-1-Benzopyran-2-carboxamide, 3,4-dihydro-2,5,7,8-tetramethyl-N-(methylsulfonyl)-6-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C25 H28 N2 O5 S

SR CA

LC STN Files: CA, CAPLUS, USPATFULL



1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 129:27890

L30 ANSWER 37 OF 42 REGISTRY COPYRIGHT 2003 ACS

RN 208039-47-8 REGISTRY

CN 2H-1-Benzopyran-2-carboxamide, N-[(4-fluorophenyl)sulfonyl]-3,4-dihydro-2,5,7,8-tetramethyl-6-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C30 H29 F N2 O5 S

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 129:27890

L30 ANSWER 38 OF 42 REGISTRY COPYRIGHT 2003 ACS

RN 208039-46-7 REGISTRY

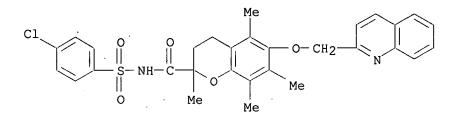
CN 2H-1-Benzopyran-2-carboxamide, N-[(4-chlorophenyl)sulfonyl]-3,4-dihydro-2,5,7,8-tetramethyl-6-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C30 H29 C1 N2 O5 S

SR CA

LC STN Files: CA, CAPLUS, USPATFULL



1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 129:27890

L30 ANSWER 39 OF 42 REGISTRY COPYRIGHT 2003 ACS

RN 174608-39-0 REGISTRY

CN 2H-1-Benzopyran-2-carboxamide, 7-[3-[2-(cyclopropylmethyl)-3-methoxy-4-(4-thiazolyl)phenoxy]propoxy]-3,4-dihydro-N-(phenylsulfonyl)-8-propyl- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C36 H40 N2 O7 S2

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 124:232451

L30 ANSWER 40 OF 42 REGISTRY COPYRIGHT 2003 ACS

RN 144214-86-8 REGISTRY

CN 2H-1-Benzopyran-2-carboxamide, 7-[3-(4-acetyl-3-methoxy-2-propylphenoxy)propoxy]-3,4-dihydro-N-(phenylsulfonyl)-8-propyl- (9CI) (CA INDEX NAME)

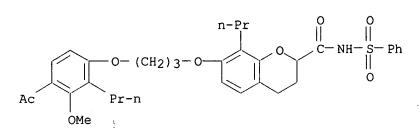
FS 3D CONCORD

MF C34 H41 N O8 S

SR CA

LC STN Files: CA, CAPLUS





1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 117:234018

L30 ANSWER 41 OF 42 REGISTRY COPYRIGHT 2003 ACS

RN 122444-15-9 REGISTRY

CN 2H-1-Benzopyran-2-carboxamide, 6-acetyl-7-[[5-(4-acetyl-3-hydroxy-2-propylphenoxy)pentyl]oxy]-3,4-dihydro-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 2H-1-Benzopyran-2-carboxamide, 6-acetyl-7-[[5-(4-acetyl-3-hydroxy-2-propylphenoxy)pentyl]oxy]-3,4-dihydro-N-(phenylsulfonyl)-, (.+-.)-

FS 3D CONCORD

MF C34 H39 N O9 S

SR CA

LC STN Files: CA, CAPLUS, CASREACT

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 111:153565

L30 ANSWER 42 OF 42 REGISTRY COPYRIGHT 2003 ACS

RN 105919-09-3 REGISTRY

CN 2-Oxabicyclo[2.2.1]heptane-4-carboxamide, 1,3,3-trimethyl-N-(methylsulfonyl)-6-(phenylmethoxy)-, endo- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C18 H25 N O5 S

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Relative stereochemistry.





Me Me Ph R Me

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

107:134225 REFERENCE 1:

=> fil uspatall FILE 'USPATFULL' ENTERED AT 14:34:24 ON 08 JUL 2003 CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 14:34:24 ON 08 JUL 2003 CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

=> d 137 bib abs hitstr tot

L37 ANSWER 1 OF 5 USPATFULL 2002:186289 USPATFULL AN

TΤ Sulfonamide compounds and pharmaceutical use thereof

ΤN Kayakiri, Hiroshi, Osaka, JAPAN Abe, Yoshito, Ibaraki, JAPAN Hamashima, Hitoshi, Kyoto, JAPAN Sawada, Hitoshi, Ibaraki, JAPAN

Mizutani, Tsuyoshi, Ibaraki, JAPAN

Oku, Teruo, Osaka, JAPAN

Yamasaki, Noritsugu, Hyogo, JAPAN Onomura, Osamu, Nagasaki, JAPAN Nishikawa, Masahiro, Niigata, JAPAN Hiramura, Takahiro, Niigata, JAPAN

Imoto, Takafumi, Niigata, JAPAN

PA Fujisawa Pharmaceutical Co. Ltd., Osaka-shi, JAPAN (non-U.S.

corporation)

PΙ US 2002099212 A1 20020725

ΑI US 2002-47093 Α1 20020117 (10)

RLI Division of Ser. No. US 2000-446110, filed on 14 Feb 2000, PATENTED

PRAI JP 1997-208295 19970627 JP 1998-114718 19980424 WO 1998-JP2877 19980624

DT Utility

FS APPLICATION

OBLON SPIVAK MCCLELLAND MAIER & NEUSTADT PC, FOURTH FLOOR, 1755 LREP JEFFERSON DAVIS HIGHWAY, ARLINGTON, VA, 22202

CLMN Number of Claims: 14

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 13171

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A sulfonamide compound of the formula (I):

R.sup.1--SO.sub.2NHCO--A--X--R.sup.2 (I)

wherein R.sup.1 is alky, alkenyl, alkynyl and the like; A is an optionally substituted heteropolycyclic group except benzimidazolyl, indolyl, 4,7-dihydrobenzimidazolyl and 2,3-dihydrobenzoxazinyl; X is alkylene, oxa, oxa(lower)alkylene and the like; and R.sup.2 is optionally substituted aryl, substituted biphenylyl and the like, a salt thereof and a pharmaceutical composition comprising the same. The sulfonamide compound is effective for the diseases treatable based on their blood sugar level-depressing activity, cGMP-PDE (especially PDE-V)-inhibiting activity, smooth muscle relaxing activity, bronchodilating activity, vasodilating activity, smooth muscle cell suppressing activity, and antiallergic activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 219758-61-9P 219758-62-0P 219758-63-1P

219758-64-2P 219758-65-3P 219758-66-4P

219758-68-6P 219758-70-0P 219758-72-2P

219758-74-4P 219758-76-6P 219758-77-7P

219758-78-8P 219758-79-9P 219758-80-2P

219758-81-3P 219758-82-4P 219759-08-7P

219759-25-8P 219759-26-9P 219759-27-0P

219759-28-1P 219759-29-2P 219759-30-5P

219759-31-6P 219759-32-7P 219759-33-8P

219759-34-9P 219759-35-0P 219761-66-7P

219761-67-8P 219761-68-9P

(prepn. of heterocyclic moiety-contg. sulfonamide compds. as hypoglycemics)

RN 219758-61-9 USPATFULL

CN 5-Benzofurancarboxamide, 3-[(2,4-dichlorophenyl)methyl]-2-methyl-N-(pentylsulfonyl)- (9CI) (CA INDEX NAME)

RN 219758-62-0 USPATFULL

CN 5-Benzofurancarboxamide, 3-[(2,4-dichlorophenyl)methyl]-2-methyl-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 219758-63-1 USPATFULL

CN 7-Benzofurancarboxamide, 2-[(2,4-dichlorophenyl)methyl]-3,5-dimethyl-N-(pentylsulfonyl)- (9CI) (CA INDEX NAME)

RN 219761-68-9 USPATFULL

CN 5-Benzofurancarboxamide, N-[(5-chloro-2-thienyl)sulfonyl]-3-[(2,4-dichlorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & \begin{array}{c} S & \begin{array}{c} O & O \\ S & NH - C \end{array} \end{array} \end{array} \begin{array}{c} O & Me \\ C1 & CH_2 \end{array} \begin{array}{c} C1 \\ C1 & C1 \end{array}$$

```
L37 ANSWER 2 OF 5 USPATFULL
       2002:34446 USPATFULL
AN
TI
       Sulfonamide compounds and medicinal use thereof
TN
       Kayakiri, Hiroshi, Suita, JAPAN
         Abe, Yoshito, Tsukuba, JAPAN
         Hamashima, Hitoshi, Kyoto, JAPAN
         Sawada, Hitoshi, Tsukuba, JAPAN
         Mizutani, Tsuyoshi, Tsukuba, JAPAN
         Oku, Teruo, Takatsuki, JAPAN
         Yamasaki, Noritsugu, Himeji, JAPAN
         Onomura, Osamu, Nagasaki, JAPAN
         Nishikawa, Masahiro, Arai, JAPAN
         Hiramura, Takahiro, Arai, JAPAN
         Imoto, Takafumi, Arai, JAPAN
PΑ
       Fujisawa Pharmaceutical Co., Ltd., Osaka, JAPAN (non-U.S.
       corporation)
PΙ
       US 6348474
                                20020219
                          В1
       WO 9900372 19990107
ΑI
       US 2000-446110
                                20000214 (9)
       WO 1998-JP2877
                                19980624
                                20000214 PCT 371 date
       JP 1997-208295
                            19970627
PRAI
                                                                      <--
       JP 1998-114718
                            19980424
       Utility
DT
FS
       GRANTED
EXNAM
       Primary Examiner: Dentz, Bernard
LREP
       Oblon, Spivak, McClelland, Maier & Neustadt, P.C.
CLMN
       Number of Claims: 11
ECL
       Exemplary Claim: 1
DRWN
       0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 13249
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AΒ
       A sulfonamide compound of the formula (I):
```

R.sup.1--SO.sub.2NHCO--A--R.sup.2 (I)

wherein R.sup.1 is alkyl, alkenyl, alkynyl and the like; A is an optionally substituted heteropolycyclic group except benzimidazolyl, indolyl, 4,7-dihydrobenzimidazolyl and 2,3-dihydrobenzoxazinyl; X is

alkylene, oxa, oxa(lower)alkylene and the like; and R.sup.2 is optionally substituted aryl, substituted biphenylyl and the like, a salt thereof and a pharmaceutical composition comprising the same. The sulfonamide compound is effective for the diseases treatable based on their blood sugar level-depressing activity, cGMP-PDE (especially PDE-V)-inhibiting activity, smooth muscle relaxing activity, bronchodilating activity, vasodilating activity, smooth muscle cell suppressing activity, and antiallergic activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 219758-61-9P 219758-62-0P 219758-63-1P
 219758-64-2P 219758-65-3P 219758-66-4P
 219758-68-6P 219758-70-0P 219758-72-2P
 219758-74-4P 219758-76-6P 219758-77-7P
 219758-78-8P 219758-79-9P 219758-80-2P
 219759-25-8P 219758-82-4P 219759-27-0P
 219759-28-1P 219759-26-9P 219759-30-5P
 219759-31-6P 219759-32-7P 219759-33-8P
 219759-34-9P 219759-35-0P 219761-66-7P

219761-67-8P 219761-68-9P

(prepn. of heterocyclic moiety-contg. sulfonamide compds. as hypoglycemics)

RN 219758-61-9 USPATFULL

CN 5-Benzofurancarboxamide, 3-[(2,4-dichlorophenyl)methyl]-2-methyl-N-(pentylsulfonyl)- (9CI) (CA INDEX NAME)

$$Me = (CH_2)_4 - S - NH - C$$

$$0$$

$$CH_2$$

$$CH_2$$

$$CH_2$$

$$CI$$

RN 219758-62-0 USPATFULL

CN 5-Benzofurancarboxamide, 3-[(2,4-dichlorophenyl)methyl]-2-methyl-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 219758-63-1 USPATFULL

CN 7-Benzofurancarboxamide, 2-[(2,4-dichlorophenyl)methyl]-3,5-dimethyl-N-(pentylsulfonyl)- (9CI) (CA INDEX NAME)

<--

RN 219761-68-9 USPATFULL

CN 5-Benzofurancarboxamide, N-[(5-chloro-2-thienyl)sulfonyl]-3-[(2,4-dichlorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

L37 ANSWER 3 OF 5 USPATFULL

AN 1999:40462 USPATFULL

TI Benzopyran compounds

IN Muller, Timothee, La Chapelle Basse Mer, France

Moulin, Claudie, Pace, France

Duflos, Muriel, Vue, France

Robert-Piessard, Sylvie, Nantes, France

Le Baut, Guillaume, Saint Sebastien sur Loire, France

Tonnerre, Alain, Bouguenais, France

Caignard, Daniel-Henri, Le Pecq, France

Manechez, Dominique, Puteaux, France

Renard, Pierre, Versailles, France

PA Adir et Compagnie, Courbevoie, France (non-U.S. corporation)

PI US 5889045

19990330 19971125 (8)

AI US 1997-977793 PRAI FR 1996-14470

19961126

DT Utility

FS Granted

EXNAM Primary Examiner: Lambkin, Deborah G.

LREP The Firm of Gordon W. Hueschen

CLMN Number of Claims: 10

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 975

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound of formula (I): ##STR1## in which: R.sub.1 represents alkyl,

R.sub.2, R.sub.4 and R.sub.5, which may be identical or different, represent hydrogen or alkyl,

R.sub.3 represents any one of the groups as defined in the description,

X represents carbonyl or methylene,

Y represents hydrogen or alkyl or aryl,

A represents single bond or alkylphenyl,

R.sub.6 represents any one of the groups as defined in the description,

its isomers as well as its addition salts with a pharmaceutically acceptable acid or base,

and medicinal productes containing the same are useful in the treatment of diabetes and complications of diabetic disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 208039-46-7P 208039-47-8P 208039-48-9P

208039-49-0P 208039-50-3P

(prepn. and pharmacol. activity of benzopyran derivs.)

RN 208039-46-7 USPATFULL

CN 2H-1-Benzopyran-2-carboxamide, N-[(4-chlorophenyl)sulfonyl]-3,4-dihydro-2,5,7,8-tetramethyl-6-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

RN 208039-47-8 USPATFULL

CN 2H-1-Benzopyran-2-carboxamide, N-[(4-fluorophenyl)sulfonyl]-3,4-dihydro-2,5,7,8-tetramethyl-6-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

RN 208039-48-9 USPATFULL

CN 2H-1-Benzopyran-2-carboxamide, 3,4-dihydro-2,5,7,8-tetramethyl-N-(methylsulfonyl)-6-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

RN 208039-49-0 USPATFULL

CN 2H-1-Benzopyran-2-carboxamide, 6-[(7-chloro-2-quinolinyl)methoxy]-N-[(4-fluorophenyl)sulfonyl]-3,4-dihydro-2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

<--

RN 208039-50-3 USPATFULL

CN 2H-1-Benzopyran-2-carboxamide, N-[(4-chlorophenyl)sulfonyl]-6-[(7-chloro-2-quinolinyl)methoxy]-3,4-dihydro-2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

L37 ANSWER 4 OF 5 USPATFULL

AN 96:108988 USPATFULL

TI Alkoxy-substituted dihydrobenzopyran-2-sulfonimides

IN Djuric, Stevan W., 924 Dolphin Dr., Malvern, PA, United States 19355

Penning, Thomas D., 374 Larch, Elmhurst, IL, United States 60126

PI US 5578619 19961126

AI US 1995-569323 19951208 (8)

RLI Continuation of Ser. No. US 1994-249107, filed on 25 May 1994, now

abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Gerstl, Robert

LREP Fitzpatrick, Cella, Harper & Scinto

CLMN Number of Claims: 13

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 506

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

This invention relates to compounds of Formula I and the stereoisomers and pharmaceutically acceptable salts thereof ##STR1## wherein R is alkyl, alkenyl, alkynyl, or (CH.sub.2).sub.m R.sup.3 where R.sup.3 is cycloalkyl and m is 1 or 2;

R.sup.1 is alkyl;

R.sup.2 is alkyl, aryl or aryl substituted with halogen or alkyl;

R.sup.4 is alkyl;

n is an integer from 1 to 5;

p is an integer from 0 to 6;

Y is NH, oxygen or sulfur; and

Z is hydrogen, alkyl, alkoxy, NR.sup.6 R.sup.5 wherein R.sup.6 and R.sup.5 are independently hydrogen or alkyl, or SR.sup.7 wherein R.sup.7 is hydrogen, benzyl or alkyl.

The compounds of Formula I are leukotriene B.sub.4 antagonists and are useful as anti-inflammatory agents and in the treatment of leukotriene B.sub.4 mediated conditions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 174608-39-0P

(prepn. of [(azolylphenoxy)alkoxy]dihydrobenzopyran sulfonimide derivs. as leukotriene B4 antagonists for treating inflammatory diseases)

RN 174608-39-0 USPATFULL

CN 2H-1-Benzopyran-2-carboxamide, 7-[3-[2-(cyclopropylmethyl)-3-methoxy-4-(4-thiazolyl)phenoxy]propoxy]-3,4-dihydro-N-(phenylsulfonyl)-8-propyl-(9CI) (CA INDEX NAME)

L37 ANSWER 5 OF 5 USPATFULL

AN 86:46367 USPATFULL

TI 4-substituted-2-oxabicyclo[2.2.1]heptane ether herbicides

IN Powell, James E., Ripon, CA, United States

PA Shell Oil Company, Houston, TX, United States (U.S. corporation)

PI US 4606753 19860819

AI US 1984-621011 19840615 (6)

DT Utility

FS Granted

EXNAM Primary Examiner: Daus, Donald G.; Assistant Examiner: Shen, Cecilia

CLMN Number of Claims: 12 ECL Exemplary Claim: 1,11

DRWN No Drawings

LN.CNT 1305

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel oxabicycloalkane ether of the formula ##STR1## wherein X is a single bond or --C(CH.sub.3).sub.2 -- and Y is a single bond or --CH.sub.2 -- with the proviso that both X and Y are not a single bond; R is H or --C(O)R.sup.3 in which R.sup.3 is H or certain hydrocarbyl groups; R.sup.1 is certain hydrocarbyl groups, or certain derivatives thereof, such as esters or carbamoyl compounds; and R.sup.2 is cyano or certain unsaturated, aromatic, heterocyclic, cycloalkyl, cycloalkenyl or secondary alkyl group, are useful as herbicides or plant growth regulators.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 105919-09-3P

(prepn. of, as herbicide and plant growth regulator)

RN 105919-09-3 USPATFULL

CN 2-Oxabicyclo[2.2.1]heptane-4-carboxamide, 1,3,3-trimethyl-N-(methylsulfonyl)-6-(phenylmethoxy)-, endo- (9CI) (CA INDEX NAME) Relative stereochemistry.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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L42 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2003 ACS

AN 1999:662330 HCAPLUS

DN 132:12456

- TI Synthesis and biological evaluation of coumarin-carboxylic acids as inhibitors of gyrase B. L-rhamnose as an effective substitute for L-noviose
- AU Ferroud, Didier; Collard, Jeannine; Klich, Michel; Dupuis-Hamelin, Claudine; Mauvais, Pascale; Lassaigne, Patrice; Bonnefoy, Alain; Musicki, Branislav
- CS Medicinal Chemistry, Hoechst Marion Roussel, Romainville, 93235, Fr.
- SO Bioorganic & Medicinal Chemistry Letters (1999), 9(19), 2881-2886 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Science Ltd.
- DT Journal
- LA English
- CC 33-3 (Carbohydrates)
 Section cross-reference(s): 1
- AB A series of novobiocin-like coumarin-carboxylic acids has been prepd. bearing the L-rhamnosyl moiety as the sugar portion of the mol. The similar DNA gyrase inhibitory activity of the novel class of coumarins to

ST

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that of novobiocin demonstrates that L-rhamnose can effectively replace Introduction of alkyl side-chains at C-5 of coumarin leads to L-noviose. improved in vitro antibacterial properties in the novel series. rhamnosyl novobiocin analog prepn antibacterial structure activity; coumarin carboxylate prepn structure activity antibacterial gyrase inhibitor Enzymes, biological studies RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process) (DNA gyrases; prepn. and biol. evaluation of coumarin-carboxylic acids as inhibitors of gyrase B) Antibacterial agents Structure-activity relationship (prepn. and biol. evaluation of coumarin-carboxylic acids as inhibitors of gyrase B) 251361-45-2P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (prepn. and biol. evaluation of coumarin-carboxylic acids as inhibitors of gyrase B) 251361-25-8P 251361-26-9P 251361-27-0P **251361-28-1P** 251361-39-4P 251361-40-7P 251361-41-8P 251361-42-9P 251361-43-0P 251361-46-3P 251361-47-4P 251361-48-5P 251361-49-6P 251361-44-1P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. and biol. evaluation of coumarin-carboxylic acids as inhibitors of gyrase B) 3615-41-6, L-Rhamnose 3757-53-7 608-25-3 1011-27-4 4762-26-9 RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. and biol. evaluation of coumarin-carboxylic acids as inhibitors of gyrase B) 68747-36-4P 251361-11-2P 251361-12-3P 251361-14-5P 251361-15-6P 251361-17-8P 251361-16-7P 251361-18-9P 251361-19-0P 251361-20-3P 251361-24-7P 251361-21-4P 251361-22-5P 251361-23-6P 251361-29-2P 251361-30-5P 251361-31-6P 251361-32-7P 251361-33-8P 251361-34-9P 251361-35-0P 251361-36-1P 251361-37-2P 251361-38-3P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and biol. evaluation of coumarin-carboxylic acids as inhibitors of gyrase B) RE.CNT THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD (1) Arisawa, M; WO 9218490 1992 HCAPLUS (2) Bell, W; J Chem Soc Perkin Trans 1997, V1, P2789 (3) Chartreaux, F; EP 894805 1999 HCAPLUS (4) Comins, D; J Org Chem 1984, V49, P1078 HCAPLUS (5) Godfrey, J; Adv Appl Microbiol 1972, V15, P231 MEDLINE (6) Goetschi, E; J Pharmacol Ther 1994, V60, P367 (7) Goschi, E; EP 675122 1995 HCAPLUS (8) Hoeksema, H; J Am Chem Soc 1955, V77, P6710 HCAPLUS (9) Iqbal, J; J Org Chem 1992, V57, P2001 HCAPLUS (10) Kamiyama, T; J Antibiot 1994, V47, P37 HCAPLUS (11) Klich, M; WO 9747634 1998 HCAPLUS (12) Laurin, P; Bioorg Med Chem Lett 1999, V9, P2079 HCAPLUS (13) Laurin, P; Bioorg Med Chem Lett 1999, V9, P2875 HCAPLUS (14) Lewis, R; EMBO J 1996, V15, P1412 HCAPLUS (15) Lewis, R; J Mol Biol 1994, V241, P128 HCAPLUS

(18) Oudet, P; unpublished results (19) Pinto, M; J Chem Soc Perkin Trans I 1987, P9

(16) Nakada, N; Antimicrob Agents Chemother 1993, V37, P2656 HCAPLUS (17) Nakada, N; Antimicrob Agents Chemother 1994, V38, P1966 HCAPLUS

- (20) Poyser, J; WO 9901442 1999 HCAPLUS
- (21) Sethna, S; Organic Reactions 1953, V7, P1
- (22) Truce, W; Organic Reactions 1957, V9, P37
- (23) Tsai, F; Proteins: Struct Funct and Genet 1997, V28, P41 HCAPLUS
- (24) Ueda, Y; Bioorg Med Chem Lett 1994, V4, P1623 HCAPLUS
- (25) Ueda, Y; J Antibiotics 1989, V42, P1379 HCAPLUS
- (26) Watanabe, J; J Antibiot 1994, V47, P32 HCAPLUS
- (27) Wigley, D; Nature 1991, V351, P624 HCAPLUS
- (28) Yamaji, K; J Antibiotics 1997, V50, P402 HCAPLUS
- IT **251361-28-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and biol. evaluation of coumarin-carboxylic acids as inhibitors of gyrase B)

- RN 251361-28-1 HCAPLUS
- CN 2H-1-Benzopyran-3-carboxamide, 7-[[6-deoxy-4-0-methyl-3-0-[(5-methyl-1H-pyrrol-2-yl)carbonyl]-.alpha.-L-mannopyranosyl]oxy]-5-heptyl-8-methyl-2-oxo-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- L42 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2003 ACS
- AN 1999:34900 HCAPLUS
- DN 130:125067
- TI Preparation of heterocyclic moiety-containing sulfonamide compounds as hypoglycemics
- IN Kayakiri, Hiroshi; Abe, Yoshito; Hamashima, Hitoshi; Sawada, Hitoshi; Mizutani, Tsuyoshi; Yamasaki, Noritsugu; Onomura, Osamu; Nishikawa, Masahiro; Hiramura, Takahiro; Oku, Teruo; Imoto, Takafumi
- PA Fujisawa Pharmaceutical Co., Ltd., Japan; et al.
- SO PCT Int. Appl., 472 pp.
- CODEN: PIXXD2
 DT Patent
- LA Japanese
- IC ICM C07D215-48
 - ICS C07D231-56; C07D235-26; C07D239-96; C07D241-52; C07D249-18; C07D307-79; C07D333-56; C07D333-54; C07D405-12; C07D471-04; C07D487-04; C07D495-04; A61K031-34; A61K031-38;
 - A61K031-415; A61K031-47; A61K031-495; A61K031-505 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
- Section cross-reference(s): 1, 27
- FAN.CNT 1

CC

PATENT NO. KIND DATE APPLICATION NO. DATE

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L42 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2003 ACS
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AN 1998:364966 HCAPLUS

DN 129:27890

TI Preparation of benzopyran derivatives and pharmaceutical compositions containing them

IN Muller, Timothee; Moulin, Claudie; Duflos, Muriel; Robert-Piessard, Sylvie; Le Baut, Guillaume; Tonnerre, Alain; Caignard, Daniel-Henri; Manechez, Dominique; Renard, Pierre

PA Adir Et Compagnie, Fr.

SO Eur. Pat. Appl., 24 pp. CODEN: EPXXDW

DT Patent

LA French

IC ICM C07D311-66

ICS C07D311-72; A61K031-355; C07D405-12; A61K031-35

CC 27-14 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1

	FAN.	CNT	1				, ,												
PATENT NO.			KI	KIND		DATE			APPLICATION NO.					DATE					
	PI	EP 844245 EP 844245				A1 B1		19980527 20010509			EP 1997-402821				1997	124	<		
		£P	R: A	AT, BE	, СН,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
			IE, SI, FR 2756284		A	1	1998	0529		FR	199	6-14	1470		1996	L126 [.]	<		
			275628 201020			1	2000 2001			АТ	199	7-4(02821	L	1997	124	<		
			215753 222246		T A		2001 1998)2821 22246		19973 19973				
			222246 970540		C A		2002 1998			NO	199	7-54	102		19971	125	<		
		CN	118341 101582	12	A		1998 1998	0603		CN	199	7-12	22919 21858)	19971 19971	125	<		
	•	US	588904	15	A		1999	0330		US	199	7-97	77793	3	1997	125	<		
		AU	970506 974538	33	A A	1	1998						064 5383		1997: 1997:				
			720479 971064		B A	-	2000 1998			ZA	199	7-10	0649		1997	126	<		
	DDAT	-	1000 1	4470			1000	1100											

PRAI FR 1996-14470 A 19961126 <--OS MARPAT 129:27890

GΙ

$$R^{3}O$$

$$R^{4}$$

$$R^{5}$$

$$R^{1}$$

$$XNYASO_{2}R^{6}$$

Ι

AB The title compds. I [R1 = alkyl, R2, R4, R5 = H, alkyl, R3 = H, alkyl,acyl, carboxyalkyl, alkoxycarbonyl, etc.; X = CO, CH2; Y = H, alkyl, aryl; A = bond, alkylphenyl; R6 = isocyanato, amino group, substituted urea, etc.] were prepd. and their pharmacol. activity detd. (no data). E.g., reaction of 6-acetoxy-3,4-dihydro-2,5,7,8-tetramethyl-1(2H)-benzopyran-2carboxylic acid with MeSO2NH2 gave N-(6-acetoxy-3,4-dihydro-2,5,7,8tetramethyl-1(2H)-benzopyran-2-carbonyl)methanesulfonamide. ST benzopyran prepn pharmacol activity 208039-13-8P ΙT 208039-12-7P 208039-14-9P 208039-17-2P 208039-11-6P 208039-26-3P 208039-35-4P 208039-37-6P 208039-23-0P 208039-25-2P 208039-55-8P 208039-57-0P 208039-41-2P 208039-43-4P 208039-60-5P 208039-68-3P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (prepn. and pharmacol. activity of benzopyran derivs.) ΙT 161787-39-9P 208039-16-1P 208039-19-4P 208039-15-0P 208039-18-3P 208039-20-7P 208039-21-8P 208039-22-9P 208039-24-1P 208039-27-4P 208039-28-5P 208039-29-6P 208039-30-9P 208039-31-0P 208039-32-1P 208039-33-2P 208039-34-3P 208039-36-5P 208039-38-7P 208039-39-8P 208039-40-1P 208039-42-3P 208039-44-5P 208039-45-6P 208039-46-7P 208039-47-8P 208039-48-9P 208039-52-5P 208039-49-0P 208039-50-3P 208039-51-4P 208039-54-7P 208039-56-9P 208039-58-1P 208039-62-7P 208039-53-6P 208039-70-7P 208039-74-1P 208039-64-9P 208039-66-1P 208039-72-9P 208039-76-3P 208039-78-5P 208039-80-9P 208039-82-1P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and pharmacol. activity of benzopyran derivs.) ΙT 88-19-7, 2-Methylbenzenesulfonamide 70-55-3, p-Toluenesulfonamide 98-64-6, 4-Chlorobenzenesulfonamide 121-61-9, 4-Acetamidobenzenesulfonamide 138-38-5, 4-Ethylbenzenesulfonamide 402-46-0, 4-Fluorobenzenesulfonamide 606-25-7, 1-Naphthalenesulfonamide 640-61-9, N-Methyl-p-toluenesulfonamide 701-34-8, 4-1129-26-6, 4-Methoxybenzenesulfonamide Bromobenzenesulfonamide 1899-94-1, m-1576-47-2, 2-Naphthalenesulfonamide 4563-33-1, Benzylsulfonamide Methylbenzenesulfonamide 5455-59-4, 6292-59-7, 4-tert-Butylbenzenesulfonamide 2-Nitrobenzenesulfonamide 6321-23-9, 4-Methylcyclohexylamine 6335-39-3, 4-7518-98-1 10311-89-4 22808-73-7, Isopropylbenzenesulfonamide 4-(Methoxycarbonyl)benzenesulfonamide 33288-71-0 35303-76-5 208039-86-5 122005-20-3 208039-84-3 54528-00-6 106461-96-5 208039-94-5 208039-96-7 208039-88-7 208039-90-1 208039-92-3 RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. and pharmacol. activity of benzopyran derivs.) RE.CNT THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

(1) Adir; EP 0512899 A HCAPLUS

RF.

(2) Searle; WO 9533742 A HCAPLUS

IT 208039-46-7P 208039-47-8P 208039-48-9P 208039-49-0P 208039-50-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and pharmacol. activity of benzopyran derivs.)

RN 208039-46-7 HCAPLUS

CN 2H-1-Benzopyran-2-carboxamide, N-[(4-chlorophenyl)sulfonyl]-3,4-dihydro-2,5,7,8-tetramethyl-6-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

RN 208039-47-8 HCAPLUS

CN 2H-1-Benzopyran-2-carboxamide, N-[(4-fluorophenyl)sulfonyl]-3,4-dihydro-2,5,7,8-tetramethyl-6-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

RN 208039-48-9 HCAPLUS

CN 2H-1-Benzopyran-2-carboxamide, 3,4-dihydro-2,5,7,8-tetramethyl-N-(methylsulfonyl)-6-(2-quinolinylmethoxy)- (9CI) (CA INDEX NAME)

RN 208039-49-0 HCAPLUS

CN 2H-1-Benzopyran-2-carboxamide, 6-[(7-chloro-2-quinolinyl)methoxy]-N-[(4-fluorophenyl)sulfonyl]-3,4-dihydro-2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

208039-50-3 HCAPLUS RN

CN 2H-1-Benzopyran-2-carboxamide, N-[(4-chlorophenyl)sulfonyl]-6-[(7-chloro-2quinolinyl)methoxy]-3,4-dihydro-2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

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L42 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2003 ACS
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1996:175604 HCAPLUS AN

DN 124:232451

Preparation of (azolylphenoxy)alkoxy-substituted dihydrobenzopyran-2-ΤI sulfonimides derivatives as leukotriene B4 antagonists

Djuric, Stevan Wakefield; Penning, Thomas Dale ΙN

G.D. Searle and Co., USA PΑ

PCT Int. Appl., 41 pp. SO

CODEN: PIXXD2

DT Patent

English LA

IC ICM C07D413-12

ICS C07D405-12; C07D417-12; A61K031-42; A61K031-415; A61K031-425

28-9 (Heterocyclic Compounds (More Than One Hetero Atom)) CC Section cross-reference(s): 1

FAN.CNT 1

LAIN		PATENT NO.			KIND DATE					APPLICATION NO. DATE								
ΡI	I WO 9532201			A1 19951130					WO 1995-US5850						0517	<		
		W:	AM,	ΑT,	AU,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,	EE,	ES,	FI,
			GB,	GE,	HU,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LK,	LR,	LT,	LU,	LV,	MD,
			MG,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	TJ,
			TM,			·	·		•		•		•					
		RW:	KE,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	IT,
			LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,	MR,	ΝE,
			SN,	TD,	TG													
	AU 9525855 US 5578619		A1		19951218			A	U 19	95-2	5855	1995	0517	<				
				Α		19961126			U	S 19	95-5	6932	3	1995	1208	<		
PRA:	I US	1994	-249	107	Α		1994	0525	<-	_								
	WO	1995	-US5	850	W		1995	0517	<-	_								
os		RPAT																
GI																		

$$R^{10}$$
 O
 $(CH_2)_n$
 O
 $(CH_2)_pCONHSO_2R^2$
 O
 $(CH_2)_x$
 O
 $(CH_2)_x$

The title compds. [I; R = C2-6 alkyl, alkenyl, or alkynyl, (CH2)mR3; AΒ wherein R3 = C3-5 cycloalkyl; m = 1 or 2; R1 = C1-4 alkyl; R2 = C1-5alkyl, aryl optionally substituted with halogen or C1-5 alkyl; R4 = C1-6 alkyl; n = 1-5; p = 0-6; x = 0 or 2; Y = NH, O, S; Z = H, C1-4 alkyl or alkoxy] and stereoisomers and pharmaceutically acceptable salts thereof, which are useful as antiinflammatory agents and in the treatment of leukotriene B4 mediated conditions such as inflammatory diseases including rheumatoid arthritis, psoriasis, inflammatory bowel disease, gout, asthma, and multiple sclerosis, are prepd. Thus, the benzopyrancarboxylic acid deriv. (II; R = CO2H) 15, PhSO2NH2 15, 4-dimethylaminopyridine 15, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide 19 mg, and 5 mL CH2Cl2 were stirred with 4.ANG. mol. sieves at room temp. for 24 h to give, after flash chromatog., 29 mg the Ph sulfonimide II (R = CONHSO2Ph). The latter compd. and II (R = CH2CH2CONHSO2Ph) showed the leukotriene B4 receptor binding affinity 5.5 and 4.3 times, resp., greater than that of 7-[3-(4-acetyl-3-methoxy-2-propylphenoxy)propoxy]-3,4-dihydro-8-propyl-2H-1-benzopyran-2-carboxylic acid.

ΙI

azolylphenoxyalkoxydihydrobenzopyran sulfonimide prepn leukotriene B4 antagonist; inflammation treatment imidazolylphenoxypropoxydihydrobenzopyr an; rheumatoid arthritis treatment imidazolylphenoxypropoxydihydrobenzopyr an; psoriasis treatment imidazolylphenoxypropoxydihydrobenzopyran; inflammatory bowel disease treatment imidazolylphenoxypropoxydihydrobenzopyran; gout treatment imidazolylphenoxypropoxydihydrobenzopyran; asthma treatment imidazolylphenoxypropoxydihydrobenzopyran; multiple sclerosis treatment imidazolylphenoxypropoxydihydrobenzopyran

IT Gout

Inflammation inhibitors Multiple sclerosis

Psoriasis

(prepn. of [(azolylphenoxy)alkoxy]dihydrobenzopyran sulfonimide derivs.
as leukotriene B4 antagonists for treating inflammatory diseases)

IT Inflammation inhibitors
(antiarthritics, prep)

(antiarthritics, prepn. of [(azolylphenoxy)alkoxy]dihydrobenzopyran sulfonimide derivs. as leukotriene B4 antagonists for treating inflammatory diseases such as rheumatoid arthritis)

IT Bronchodilators

(antiasthmatics, prepn. of [(azolylphenoxy)alkoxy]dihydrobenzopyran sulfonimide derivs. as leukotriene B4 antagonists for treating inflammatory diseases)

IT Intestine, disease

(inflammatory, prepn. of [(azolylphenoxy)alkoxy]dihydrobenzopyran sulfonimide derivs. as leukotriene B4 antagonists for treating inflammatory diseases)

IT 120072-59-5P **174608-39-0P** 174608-40-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of [(azolylphenoxy)alkoxy]dihydrobenzopyran sulfonimide derivs. as leukotriene B4 antagonists for treating inflammatory diseases)

IT 71160-24-2, Leukotriene B4

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(prepn. of [(azolylphenoxy)alkoxy]dihydrobenzopyran sulfonimide derivs. as leukotriene B4 antagonists for treating inflammatory diseases)

TT 74-88-4, Methyl iodide, reactions 98-10-2, Benzenesulfonamide 98193-35-2 138828-39-4 152271-95-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of [(azolylphenoxy)alkoxy]dihydrobenzopyran sulfonimide derivs. as leukotriene B4 antagonists for treating inflammatory diseases)

IT 120072-58-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of [(azolylphenoxy)alkoxy]dihydrobenzopyran sulfonimide derivs. as leukotriene B4 antagonists for treating inflammatory diseases)

IT 174608-39-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of [(azolylphenoxy)alkoxy]dihydrobenzopyran sulfonimide derivs. as leukotriene B4 antagonists for treating inflammatory diseases)

RN 174608-39-0 HCAPLUS

CN 2H-1-Benzopyran-2-carboxamide, 7-[3-[2-(cyclopropylmethyl)-3-methoxy-4-(4-thiazolyl)phenoxy]propoxy]-3,4-dihydro-N-(phenylsulfonyl)-8-propyl- (9CI) (CA INDEX NAME)

L42 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2003 ACS

AN 1992:634018 HCAPLUS

DN 117:234018

TI Preparation of benzopyran and tetrazolylbenzopyran anti-inflammatory compounds

IN Djuric, Stevan Wakefield; Fretland, Donald John; Yu, Stella Siu Tzyy

PA Searle, G. D., and Co., USA

SO PCT Int. Appl., 29 pp.

CODEN: PIXXD2

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DT
     Patent
LA
     English
     ICM C07D311-66
IC
     ICS C07D405-12; A61K031-35; A61K031-41
     28-10 (Heterocyclic Compounds (More Than One Hetero Atom))
CC
     Section cross-reference(s): 1, 27
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                            APPLICATION NO.
                                                             DATE
                             19920709
                                            WO 1991-US9126
                                                             19911211 <--
PΙ
     WO 9211252
                       Α1
            AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP,
             KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, SD, SE, SU, US
         RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN,
             GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG
     AU 9191349
                       Α1
                            19920722
                                            AU 1991-91349
                                                             19911211 <--
PRAI US 1990-629919
                             19901219
                                       <--
     WO 1991-US9126
                             19911211
OS
     MARPAT 117:234018
GΙ
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Title compds. I (R = C1-6 alkyl, C2-6 alkenyl, R3(CH2)m wherein R3 = C3-5 cycloalkyl, m = 1-3; R1 = H2NCO, R2O2SNHCO wherein R2 = alkyl, Ph, (substituted) alkyl, tetrazolyl; n = 2-5), stereoisomers and salts thereof, are prepd. To I (R = cyclopropylmethyl, R1 = CO2C, n = 3) (prepn. given) in MePh was added (COCl)2 with stirring to which DMF was added followed by bubbling NH3 to give the amide to which was added Burgess reagent to give the nitrile which was treated with NaN3, Et3N.HCl in 1-methyl-2-pyrrolidone to give I (R = cyclopropylmethyl, R1 = 1H-tetrazol-5-yl, n = 3) (II). II had a rel. potency value of LTB4 antagonists of 219.

ST tetrazol benzopyran prepn antiinflammatory; benzopyran ether prepn antiinflammatory; antiinflammatory benzopyran ether tetrazolebenzopyranyl

IT Inflammation inhibitors

(benzopyran ethers and tetrazole benzopyrans)

Ι

IT 120072-38-0 120072-40-4 120072-59-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(amidation of)

IT 144214-79-9P 144214-81-3P 144214-83-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and dehydration of)

IT 144214-85-7P 144214-87-9P 144214-88-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in prepn. of antiinflammatory)

IT 144214-80-2P 144214-82-4P 144214-84-6P **144214-86-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as antiinflammatory agent)

IT 144214-86-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as antiinflammatory agent)

RN 144214-86-8 HCAPLUS

CN 2H-1-Benzopyran-2-carboxamide, 7-[3-(4-acetyl-3-methoxy-2-propylphenoxy)propoxy]-3,4-dihydro-N-(phenylsulfonyl)-8-propyl-(9CI) (CAINDEX NAME)

L42 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2003 ACS

AN 1989:553565 HCAPLUS

DN 111:153565

TI 3,4-Dihydro-2H-1-benzopyran-2-carboxylic acids and related compounds as leukotriene antagonists

AU Cohen, Noal; Weber, Giuseppe; Banner, Bruce L.; Lopresti, Rocco J.; Schaer, Beatrice; Focella, Antonino; Zenchoff, Gladys B.; Chiu, Anne Marie; Todaro, Louis; et al.

CS Roche Res. Cent., Hoffmann-La Roche Inc., Nutley, NJ, 07110, USA

SO Journal of Medicinal Chemistry (1989), 32(8), 1842-60 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

CC 27-14 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 75

OS CASREACT 111:153565

GΙ

AB Evaluation of a series of 3,4-dihydro-2H-1-benzopyran-2-carboxylic acids linked to the 2-hydroxyacetophenone pharmacophore present in the std. peptidoleukotriene antagonist FPL 55712 (I) has led to the discovery of Ro 23-3544 (II), an antagonist possessing greater potency and duration of action vs LTD4 than the std. (aerosol route of administration, guinea pig bronchoconstriction model). Interestingly, II also potently inhibited bronchoconstriction induced by LTB4 whereas I did not. Attempts to establish structure-activity relationships in this series involved modifications in the 2-hydroxyacetophenone moiety, the linking chain, and

ΙI

ST

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IT

ΙT

the chroman system. All variations produced analogs which were either inactive or possessed reduced potency relative to II. Optical resoln. of II was achieved by two methods. Abs. configurations of the enantiomers were detd. via x-ray crystallog. analyses of an intermediate as well as a salt of the S enantiomer. Although the enantiomers exhibited similar potencies in in vitro assays and in vivo when administered i.v., significant differences were obsd. in the guinea pig bronchoconstriction model vs LTC4 and LTD4 when administered by the aerosol route (S-antipode 15-fold more potent). The properties of II were compared with several recently reported leukotriene antagonists. acetylphenoxypentyloxybenzopyrancarboxylic acid prepn leukotriene antagonist; benzopyrancarboxylate acetylphenoxypentyloxy prepn leukotriene antagonist; crystal structure benzopyrancarboxylate deriv Leukotrienes RL: RCT (Reactant); RACT (Reactant or reagent) (antagonists, benzopyrancarboxylate derivs.) Crystal structure (of benzylpyrancarboxylate derivs.) 31846-36-3 RL: RCT (Reactant); RACT (Reactant or reagent) (Fries rearrangement of) 1099-45-2, (Carbethoxymethylene) triphenylphosphorane 51544-70-8 RL: RCT (Reactant); RACT (Reactant or reagent) (Wittig reaction of, with chromancarboxaldehydes) 122444-03-5 RL: RCT (Reactant); RACT (Reactant or reagent) (alkylation of, with dibromopentane) 40785-97-5P RL: SPN (Synthetic preparation); PREP (Preparation) (analogs of, prepn. and leukotriene antagonist activity of) 23866-72-0 122443-91-8 RL: RCT (Reactant); RACT (Reactant or reagent) (catalytic hydrogenation of) 98-10-2, Benzenesulfonamide RL: RCT (Reactant); RACT (Reactant or reagent) (coupling reaction of, with benzylpyrancarboxylate deriv.) 40786-20-7 84701-46-2 RL: RCT (Reactant); RACT (Reactant or reagent) (coupling reaction of, with bromoalkoxychromancarboxylate) 40786-69-4 RL: RCT (Reactant); RACT (Reactant or reagent) (coupling reaction of, with bromoalkoxychromancarboxylates) 88420-39-7 RL: RCT (Reactant); RACT (Reactant or reagent) (coupling reaction of, with bromoalkoxychromanones) 109-64-8, 1,3-Dibromopropane 110-52-1, 1,4-Dibromobutane 629-03-8, 4549-31-9, 1,7-Dibromoheptane 5414-19-7, 1,6-Dibromohexane Bis(2-bromoethyl) ether RL: RCT (Reactant); RACT (Reactant or reagent) (coupling reaction of, with chromancarboxylate deriv.) 89-84-9 RL: RCT (Reactant); RACT (Reactant or reagent) (coupling reaction of, with chromancarboxylate derivs.) 87108-39-2 RL: RCT (Reactant); RACT (Reactant or reagent) (coupling reaction of, with dibromopentane) 626-15-3, 1,3-Bis(bromomethyl)benzene RL: RCT (Reactant); RACT (Reactant or reagent) (coupling reaction of, with dihydroxyacetophenone deriv.) 102297-63-2 RL: RCT (Reactant); RACT (Reactant or reagent) (coupling reaction of, with hexynol) 928-90-5, 5-Hexyn-1-ol

```
RL: RCT (Reactant); RACT (Reactant or reagent)
        (coupling reaction with bromochromone)
     17159-79-4, Ethyl 4-oxocyclohexanecarboxylate
ΙT
    RL: RCT (Reactant); RACT (Reactant or reagent)
        (coupling reaction with dihydroxyacetophenone)
     111-24-0, 1,5-Dibromopentane
ΙT
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (coupling reactions with hydroxychromancarboxylate deriv.)
ΙT
     24347-58-8, D-(-)-2,3-Butanediol
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (esterification of, with chromancarboxylic acid deriv.)
                                            96964-40-8
ΙT
     88107-10-2
                  91541-18-3
                               96964-39-5
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (leukotriene antagonists activity of)
ΙT
     96565-55-8
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (oxidn. of, with potassium persulfate)
     122443-97-4P
ΤΨ
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and Friedel reaction of, with acetyl chloride)
                   122443-76-9P
                                  122469-87-8P
ΙT
     96566-15-3P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and Fries rearrangement of)
                   122443-69-0P
TΨ
     95928-88-4P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and Grignard reaction with hydroxychromancarboxylate deriv.)
ΙT
     96565-59-2P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and Wittig reactions of)
     122443-98-5P
TT
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and acid hydrolysis of)
IT
     122444-31-9P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and alk. hydrolysis of)
                   122443-74-7P
                                 122443-75-8P
                                                  122443-96-3P
                                                                 122518-66-5P
TΤ
     42368-92-3P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and catalytic hydrogenation of)
IT
     122444-23-9P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and conversion to amide)
ΙT
     122443-81-6P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and coupling reaction of, with dibromopentane)
ΙT
     96566-98-2P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and coupling reaction of, with hydroxyacetophenone deriv.)
IT
     96565-63-8P
                   96565-69-4P
                                 96565-75-2P
                                              96566-21-1P
                                                              96614-73-2P
                    122443-79-2P
                                    122443-80-5P
                                                   122443-82-7P
     122443-78-1P
     122443-84-9P
                    122443-85-0P
                                   122443-88-3P
                                                   122443-93-0P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and coupling reaction with dibromopentane)
ΙT
     122444-36-4P
                    122444-39-7P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and coupling reaction with dihydroxyacetophenone deriv.)
```

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IT
     96566-41-5P
                   122443-94-1P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and coupling reaction with hydroxyacetophenone)
ΙT
     96566-49-3P
                   122443-89-4P
                                  122444-00-2P 122444-04-6P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and coupling reaction with hydroxyacetophenone deriv.)
IT
     122443-72-5P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and coupling reaction with hydroxychromancarboxylate deriv.)
ΙT
     96686-72-5P
     RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and crystal structure of)
ΙT
     122444-26-2P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and cyclization of, with acid, tetrazole deriv. from)
IT
     122444-24-0P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and dehydration of, nitrile deriv. from)
IT
     121175-61-9P
                    122443-87-2P
                                   122444-27-3P
                                                  122444-30-8P
                                                                  122444-33-1P
     122444-43-3P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and esterification of)
IT
     96566-16-4P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and esterification of, with butanediol)
IΤ
     96566-95-9P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and hydroboration of)
                   120329-95-5P
                                  122444-29-5P
IT
     96566-99-3P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and hydrolysis of, acid from)
ΙT
                   96614-75-4P
     96566-42-6P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and hydrolysis of, acids from)
     197388-46-8P
ΙT
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and hydrolysis or hydride redn. of)
ΙT
     96566-97-1P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and iodination of)
     96565-78-5P
ΙT
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and leukotriene antagonist activity of)
IT.
     96565-66-1P
                   96565-72-9P
                                  96566-26-6P
                                                96566-45-9P
                                                              96566-60-8P
                                  96566-66-4P
     96566-63-1P
                   96566-65-3P
                                                96566-69-7P
                                                              96594-21-7P
                                                                122444-08-0P
     96686-71-4P
                   96686-73-6P
                                  122444-06-8P
                                                 122444-07-9P
     122444-09-1P
                    122444-10-4P
                                    122444-11-5P
                                                   122444-12-6P
                                                                  122444-13-7P
                                                 122444-17-1P
                                 122444-16-0P
     122444-14-8P 122444-15-9P
                                   122444-20-6P
                                                   122444-21-7P
     122444-18-2P
                    122444-19-3P
                                                                  122444-22-8P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and leukotriene antagonists activity of)
ΙT
     96566-96-0P
                   122443-68-9P
                                  122443-71-4P
                                                  122443-99-6P
                                                                 144265-27-0P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
```

(prepn. and mesylation of)

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ΙT
     122443-73-6P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and methylation or allylation of)
     122444-35-3P
ΙT
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and reaction with dihydropyran)
                   96566-17-5P
     96566-14-2P
IΤ
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and reactions of)
ΙT
     122444-01-3P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and redn. of)
                    122444-34-2P
                                    122444-37-5P
                                                   122444-40-0P
IT
     122444-32-0P
                                                                  122469-88-9P
     122469-89-0P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and sapon. of)
                   96565-68-3P
ΙT
     96565-62-7P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and sequential acetylation and rearrangement of)
     122444-02-4P
                    147611-86-7P
ΙT
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and sequential O-acetylation and Fries rearrangement of)
     122443-92-9P
ΤТ
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and O-acetylation of)
                    122443-70-3P
ΙT
     122443-67-8P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and O-deprotection of)
                                 122443-90-7P
TΤ
     96565-56-9P
                   96565-57-0P
                                                 122443-95-2P
                                                                122444-05-7P
                                                   122444-45-5P
                    122444-42-2P
                                    122444-44-4P
                                                                 122444-46-6P
     122444-41-1P
     122444-47-7P
                    122518-67-6P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of)
IT
     96614-74-3P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn., crystal structure, and coupling reaction with
        hydroxyacetophenone deriv.)
ΙT
     96566-25-5P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn., resoln., and leukotriene antagonist activity of)
ΙT
     122444-28-4P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn., sapon., and esterification of)
     2627-86-3, (S)-(-)-.alpha.-Methylbenzylamine
                                                     3886-69-9.
IΤ
     (R)-(+)-.alpha.-Methylbenzylamine
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (resoln. by, of chromancarboxylic acid deriv.)
     493-05-0, Isochroman
IT
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (ring cleavage of, with hydrogen bromide)
     120268-18-0
ΙT
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (sapon. of)
     122443-77-0
IT
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (to)
```

RL: RCT (Reactant); RACT (Reactant or reagent)
 (O-allylation of)

IT 53120-74-4, 3,3-Dimethyl-1,5-pentanediol RL: PROC (Process)

(O-protection of)

IT 122444-15-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and leukotriene antagonists activity of)

RN 122444-15-9 HCAPLUS

CN 2H-1-Benzopyran-2-carboxamide, 6-acetyl-7-[[5-(4-acetyl-3-hydroxy-2-propylphenoxy)pentyl]oxy]-3,4-dihydro-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

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L42 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2003 ACS
ΑN
     1987:534225 HCAPLUS
DN
     107:134225
     4-Substituted-2-oxabicyclo[2.2.1]heptane ether herbicides
TI
ΙN
     Powell, James E.
PΑ
     Shell Oil Co., USA
     U.S., 15 pp.
CODEN: USXXAM
SO
DT
     Patent
LA
     English
IC
     ICM A01N043-00
     ICS
         C07D311-00
NCL
     071088000
     27-21 (Heterocyclic Compounds (One Hetero Atom))
     Section cross-reference(s): 5
FAN.CNT 1
     PATENT NO.
                       KIND
                             DATE
                                             APPLICATION NO.
                                                               DATE
     _____
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PATENT NO. KIND DATE APPLICATION NO. DATE

PI US 4606753 A 19860819 US 1984-621011 19840615 <-
PRAI US 1984-621011 19840615 <-
OS CASREACT 107:134225

GΙ

...

(Reactant or reagent)

AΒ Oxabicycloalkane ethers, including the title compds. I (X = bond, CMe2; Y = bond, CH2, such that both X and Y are not a single bond; R = H, COR3, R3 = H, hydrocarbyl; R1 = hydrocarbyl, ester, H2NCO; R2 = cyano, aryl, heterocyclyl, cycloalkyl, etc.), useful as herbicides or plant growth regulators, were prepd. Thus, 1,3,3-trimethyl-6-endo-(phenylmethoxy)-2oxabicyclo[2.2.1]heptane-4-carbonyl isocyanate, prepd. in 11 steps from NCCH2CO2Me, in CH2Cl2 was treated with MeNH2 to give 1,3,3-trimethyl-N-(methylaminocarbonyl)-6-endo-(phenylmethoxy)-2-oxabicyclo[2.2.1]heptane-4carboxamide (II). In postemergence tests II gave 100% control of barnyard grass and downy brome. oxabicycloheptane ether prepn herbicide; plant growth regulator SToxabicycloheptane ether ΙT Herbicides (oxabicycloheptane ethers) IT Plant hormones and regulators RL: RCT (Reactant); RACT (Reactant or reagent) (oxabicycloheptane ethers) 67-62-9, Methoxyamine IT. RL: RCT (Reactant); RACT (Reactant or reagent) (amidation by, of oxabicycloheptanecarbonyl chloride) IT 814-49-3, Diethyl chlorophosphate RL: RCT (Reactant); RACT (Reactant or reagent) (condensation of, with oxabicycloheptanecarboxamide deriv.) ΙT 541-41-3, Ethyl chloroformate RL: RCT (Reactant); RACT (Reactant or reagent) (condensation of, with oxabicycloheptanecarboxamide derivs.) ΙT 105-34-0, Methyl cyanoacetate RL: RCT (Reactant); RACT (Reactant or reagent) (cyclization of, with dibromomethylbutene) ΙT 18860-95-2 RL: RCT (Reactant); RACT (Reactant or reagent) (cyclization with, of Me cyanoacetate) 552-45-4, 2-Methylbenzyl chloride 345-35-7, 2-Fluorobenzyl chloride TΤ RL: RCT (Reactant); RACT (Reactant or reagent) (etherification by, of hydroxyoxabicycloheptane derivs.) 100-39-0, Benzyl bromide TΤ RL: RCT (Reactant); RACT (Reactant or reagent) (etherification by, of hydroxyoxabicycloheptanecarbonitrile) IΤ 87129-24-6 RL: RCT (Reactant); RACT (Reactant or reagent). (etherification of, with benzyl chloride) ΙT 4584-23-0 RL: RCT (Reactant); RACT (Reactant or reagent) (hydrolysis of) 100-72-1 IT RL: RCT (Reactant); RACT (Reactant or reagent) (mesylation of) ΙT 87834-48-8P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

```
(prepn. and amidation of)
IT
     104145-55-3P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and amidation of, with methanesulfonamide)
IT
     104145-60-0P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and conversion to amide derivs.)
     87819-77-0P
IT
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and conversion to carboxy derivs.)
ΙT
     87819-78-1P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and conversion to cyano derivs.)
ΙT
     87128-93-6P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and cyclization of)
IT
     87129-15-5P
                   87818-78-8P
                                 87818-79-9P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and dechlorination of)
ΙT
     99335-60-1P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and etherification by, of hydroxyoxabicycloheptane derivs.)
ΙT
     104145-54-2P
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and etherification of)
     104145-51-9P
ΙT
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and etherification with benzyl bromide).
ΙT
     104145-53-1P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and hydrolysis of)
ΙT
    87819-70-3P
                   87819-71-4P
                                 87819-72-5P
                                                104145-47-3P
                                                               104145-49-5P
     104145-52-0P
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and oxidn. of)
ΙT
     105919-07-1P
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and reaction of, with methylamine)
     104145-46-2P
ΙT
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and reaction with methylmagnesium bromide)
ΙT
     104195-36-0P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and reaction with monomethylamine)
IT
     104145-48-4P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and rearrangement of)
ΙT
     104145-50-8P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and redn. of)
IT
     104145-45-1P
                   104145-64-4P
```

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

IT 104145-61-1P 105919-08-2P **105919-09-3P** 105919-10-6P 105919-11-7P 105919-12-8P 105919-13-9P 105919-14-0P 105919-15-1P 105919-16-2P 105919-17-3P 105919-18-4P 105919-19-5P 105934-81-4P 105934-82-5P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as herbicide and plant growth regulator)

IT 3144-09-0

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IT

RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with oxabicycloheptanecarbonyl chloride)

IT 105919-09-3P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as herbicide and plant growth regulator)

RN 105919-09-3 HCAPLUS

CN 2-Oxabicyclo[2.2.1]heptane-4-carboxamide, 1,3,3-trimethyl-N-(methylsulfonyl)-6-(phenylmethoxy)-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

=> d his

(FILE 'HOME' ENTERED AT 14:03:35 ON 08 JUL 2003) SET COST OFF

FILE 'HCAPLUS' ENTERED AT 14:03:49 ON 08 JUL 2003

L1 1 S US20020099212/PN L2 1 S US6348474/PN

1 S WO98-JP2877/AP, PRN

L4 1 S (JP97-208295 OR JP98-114718)/AP, PRN

L5 1 S L1-L4

FILE 'REGISTRY' ENTERED AT 14:05:08 ON 08 JUL 2003

FILE 'HCAPLUS' ENTERED AT 14:05:14 ON 08 JUL 2003 SET SMARTSELECT ON

L6 SEL L5 1- RN : 1021 TERMS SET SMARTSELECT OFF

FILE 'REGISTRY' ENTERED AT 14:05:15 ON 08 JUL 2003

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L7
           1021 S L6
            377 S L7 AND (N AND S AND O)/ELS AND NR>=2
L8
             32 S L8 AND OC4-C6/ES
L9
L10
             10 S L9 AND 3/NR
             1 S L10 AND C22H23CL2NO4S
L11
L12
                STR
             5 S L12
L13
            653 S L12 FUL
L14
                SAV L14 DENTZO47/A
L15
                STR L12
L16
             13 S L15 SAM SUB=L14
L17
            197 S L15 FUL SUB=L14
                SAV L17 DENTZO47A/A
             32 S L7 AND L17
L18
L19
            193 S L17 AND NR>=3
L20
                STR L15
             4 S L20 SAM SUB=L19
L21
L22
             44 S L20 FUL SUB=L19
            149 S L19 NOT L22
L23
L24
                STR L20
              2 S L24 SAM SUB=L23
L25
             54 S L24 FUL SUB=L23
L26
                SAV L22 DENTZ047B/A
                SAV L26 DENTZ047C/A
             22 S L26 NOT L18
L27
             12 S L27 AND (CCS/CI OR C40H42CLN308S OR C42H59N017S OR C36H46N4O7
L28
             10 S L27 NOT L28
L29
L30
             42 S L18, L29
                SAV L30 DENTZ047D/A
L31
             95 S L23 NOT L26
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L32
              0 S L30
     FILE 'USPATFULL, USPAT2' ENTERED AT 14:28:01 ON 08 JUL 2003
L33
              5 S L30
              2 S L33 AND (KAYAKIRI ? OR ABE ? OR HAMASHIMA ? OR SAWADA ? OR MI
L34
              2 S L33 AND FUJISAWA?/PA
L35
L36
              5 S L33-L35
              5 S L36 AND (PY<=1997 OR PRY<=1997)
L37
     FILE 'HCAPLUS' ENTERED AT 14:31:34 ON 08 JUL 2003
L38
              7 S L30
              1 S L38 AND (KAYAKIRI ? OR ABE ? OR HAMASHIMA ? OR SAWADA ? OR MI
L39
              1 S L38 AND FUJISAWA?/PA
L40
             .6 S L38 AND (PY<=1997 OR PRY<=1997 OR AY<=1997)
L41
L42
              7 S L38-L41
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FILE 'USPATFULL, USPAT2' ENTERED AT 14:34:24 ON 08 JUL 2003

FILE 'HCAPLUS' ENTERED AT 14:34:52 ON 08 JUL 2003